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L4          12 S L3

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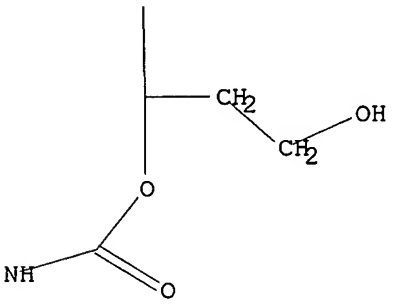


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Structure attributes must be viewed using STN Express query preparation.


=> d bib abs 1-12

L4   ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:513523  CAPLUS
DN   141:47310
TI   Compositions comprising inhibitors of inosine-5'-monophosphate
     dehydrogenase (IMPDH) and an antitumor agent, and use in the treatment of
     cancer
IN   Jain-Pandey, Jugnu; Fram, Robert J.
PA   Vertex Pharmaceuticals Incorporated, USA
SO   PCT Int. Appl., 67 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     --------------      ----   --------    --------------------    --------
PI   WO 2004052349        A2     20040624    WO 2003-US38523         20031204
     WO 2004052349        A3     20040729
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2005059734        A1     20050317    US 2003-728114          20031204
```

PRAI US 2002-431555P P 20021206
US 2003-496261P P 20030819
WO 2003-US38523 A 20031204
OS MARPAT 141:47310
AB The invention discloses compns. comprising an apoptosis-inducing anti-cancer agent and an IMPDH inhibitor. The invention also discloses methods for inducing apoptosis and for treating tumors and cancers in mammals.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:521946 CAPLUS
DN 135:92376
TI Preparation of (hydroxyalkyl) carbamates via the ring-opening ammonolysis of 1,3-dioxan-2-ones
IN Clements, John H.; Klein, Howard P.; Marquis, Edward T.; Machac, James R., Jr.
PA Huntsman Petrochemical Corp., USA
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6262297	B1	20010717	US 2000-669220	20000925
	WO 2002026700	A1	20020404	WO 2001-US16053	20010518
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2001063245	A5	20020408	AU 2001-63245	20010518
	EP 1320519	A1	20030625	EP 2001-937519	20010518
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004509944	T2	20040402	JP 2002-531086	20010518
	US 2002040160	A1	20020404	US 2001-863558	20010523
	US 6710203	B2	20040323		
	TW 553924	B	20030921	TW 2001-90114432	20010614
PRAI	US 2000-669220	A	20000925		
	WO 2001-US16053	W	20010518		

OS CASREACT 135:92376; MARPAT 135:92376
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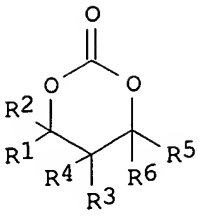

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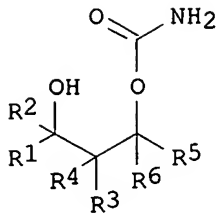

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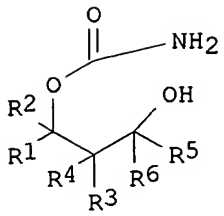

III

AB When 6-member cyclic carbonate esters [I; H, (un)branched C1-6 alkyl] are subjected to ammonolysis using either anhydrous ammonia or aqueous ammonium hydroxide, (hydroxyalkyl) carbamates (II, III) are formed in high yield. Thus, 5-methyl-1,3-dioxan-2-one was reacted with anhydrous ammonia at 55°/140 psig, producing 2-methyl-3-hydroxypropyl carbamate in 94.5% yield.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:688087 CAPLUS
DN 133:261545
TI Inosine-5'-monophosphate dehydrogenase (IMPDH) inhibitors, their preparation, and their therapeutic use
IN Stamos, Dean; Trudeau, Martin; Bethiel, Scott; Badia, Michael; Saunders, Jeffrey
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000056331	A1	20000928	WO 2000-US7129	20000317
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2367017	AA	20000928	CA 2000-2367017	20000317
BR 2000009167	A	20011226	BR 2000-9167	20000317
EP 1178797	A1	20020213	EP 2000-916479	20000317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO

	TR 200103428	T2	20020422	TR 2001-200103428	20000317
	EE 200100492	A	20021216	EE 2001-492	20000317
	NZ 514540	A	20031128	NZ 2000-514540	20000317
	AU 769383	B2	20040122	AU 2000-37577	20000317
	NO 2001004535	A	20011119	NO 2001-4535	20010918
	US 2002111378	A1	20020815	US 2001-955626	20010919
	US 6498178	B2	20021224		
	BG 106020	A	20020628	BG 2001-106020	20011016
PRAI	US 1999-125507P	P	19990319		
	US 2000-174882P	P	20000107		
	WO 2000-US7129	W	20000317		

OS MARPAT 133:261545

AB Compds. and pharmaceutical compns. are provided which inhibit IMPDH. The compds. and pharmaceutical compns. of the invention are particularly well suited for inhibiting IMPDH activity and consequently, may be used as therapeutic agents for IMPDH-mediated processes. The invention also relates to methods for inhibiting the activity of IMPDH using the compds. of the invention and related compds.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:100836 CAPLUS
DN 130:167871
TI Solid phase preparation of amines
IN Hodges, John Cooke; Hernandez, Andres Sergio
PA Warner-Lambert Company, USA
SO U.S., 10 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5869579	A	19990209	US 1997-965567	19971106
	US 5932696	A	19990803	US 1998-168042	19981007
PRAI	US 1997-965567	A3	19971106		

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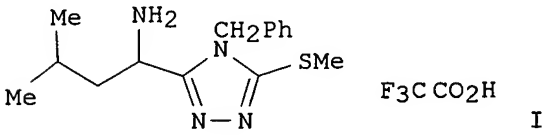
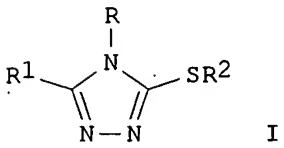


I

AB In the solid phase preparation of an amine a diol is monoalkylated with a chloromethyl resin followed by reaction with N,N'-carbonyldimidazole to afford a resin-bound tertiary-alkoxycarbonylimidazole which is N-alkylated and then sequentially treated with appropriate building blocks and reagents to afford a resin-bound amine which affords the desired amine after treatment with an acid. Thus, HOCH2CH2CMe2OH was linked to Merrifield resin and treated with carbonyldiimidazole to give the resin-bound alkoxycarbonylimidazole which was treated with L-leucine Me ester hydrochloride to give resin-bound HOCH2CH2CMe2O2C-L-Leu-OMe. The methylestar was converted to the hydrazide, treated with PhCH2NCS and cleaved from the resin with CF3CO2H to give the triazole I.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:303618 CAPLUS
DN 129:41102
TI Solid-supported syntheses of 3-thio-1,2,4-triazoles
AU Wilson, Michael W.; Hernandez, Andres S.; Calvet, Alain P.; Hodges, John C.
CS Exploratory Chemistry, Parke-Davis Pharmaceutical Research, Division of Warner-Lambert Company, Ann Arbor, MI, 48105, USA
SO Molecular Diversity (1998), Volume Date 1997-1998, 3(2), 95-112
CODEN: MODIF4; ISSN: 1381-1991
PB Kluwer Academic Publishers
DT Journal
LA English
OS CASREACT 129:41102
GI



I

AB Two solid-supported synthesis strategies for the preparation of 3-thio-1,2,4-triazoles I [R = 4-H2NCOC6H4CH2, H2NCO(CH2)3, PhCH2, 3,4-(MeO)2C6H3CH2CH2, Ph, Me2CHCH2, MeO(CH2)2, Me; R1 = PhCH2, 4-pyridyl, Ph(CH2)2, 2-Cl-10-phenothiazinylethyl, 1-oxa-3-Ph-2,4-diazol-5-yl, 4-PhC6H4CH2, Ph, Bu, 1-naphthyl, Ph2CH, (S)-Me2CHCH2CH(NH2), (S)-2-(3-indolyl)-1-aminoethyl, H2N(CH2)5, 3-H2NC6H4; R2 = Me, PhCH2, MeO2CCH2, MeO2CCH(Me)] are described. In the first, Rink amide resin is combined with Fmoc-protected ω-amino acids, acid hydrazides, and alkyl halides to provide diverse sets of starting materials from which numerous triazoles may be prepared The second employs t-alkylcarbamate resin (Boc resin) which permits the use of addnl. pools of starting materials, including isothiocyanates and α-and ω-amino esters, resulting in triazoles with patterns of functional groups that are not possible from the initial route. The combination of multiple resins and resin attachment sites allows the preparation of a diverse library based upon the scaffold of I and avoids the pitfall of having a single linker functionality present at the same position in all library members. General synthetic procedures and representative products from each route are presented. A similarity anal. of representative sublibraries from each synthesis strategy concludes that variation of the solid-phase linker chemical and attachment site can enhance mol. diversity of the combined triazole library.
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:281209 CAPLUS
DN 126:343161
TI Solid-Supported tert-Alkoxycarbonylation Reagents for Anchoring of Amines during Solid Phase Organic Synthesis
AU Hernandez, Andres S.; Hodges, John C.
CS Chemistry Department, Parke-Davis Pharmaceutical Research, Ann Arbor, MI, 48105, USA
SO Journal of Organic Chemistry (1997), 62(10), 3153-3157
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English

OS CASREACT 126:343161
AB The preparation and characterization of a homologous series of solid phase synthesis resins for anchoring amines via a Boc-like linker are described. The scope and limitations of these resins are explored with respect to procedures for attachment and cleavage of a variety of primary amines, secondary amines, and α-amino esters.
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:168452 CAPLUS
DN 126:157954
TI Highly functional, hyperbranched and dendritic polyurethanes
IN Bruchmann, Bernd; Wingerter, Frank; Graf, Hermann; Wolff, Stefan
PA BASF A.-G., Germany
SO Ger. Offen., 16 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19524045	A1	19970102	DE 1995-19524045	19950701
	WO 9702304	A1	19970123	WO 1996-EP2705	19960621
	W: CA, CN, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 836626	A1	19980422	EP 1996-922019	19960621
	EP 836626	B1	20000126		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	JP 11508630	T2	19990727	JP 1996-504761	19960621
	AT 189237	E	20000215	AT 1996-922019	19960621
	ES 2142593	T3	20000416	ES 1996-922019	19960621
	US 5981684	A	19991109	US 1997-973729	19971215
PRAI	DE 1995-19524045	A	19950701		
	WO 1996-EP2705	W	19960621		

AB Title polymers are manufactured from compds. having ≥2 NCO groups and(or) NCO-reactive groups. Thus, reaction of 87.7 g 2,4-tolylene diisocyanate with 44 g Me2CO-capped trimethylolpropane (I), reaction of 20 g monourethane intermediate (II) with 2.57 g I, hydrolysis of the resulting 0-generation dendrimer, reaction of 4 g resulting intermediate with 7.9 g II gave a 1st generation dendrimer.

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:637443 CAPLUS
DN 125:329473
TI Preparation of aminediol-containing peptide analogs as retroviral protease inhibitors
IN Gordon, Eric M.; Barrish, Joel C.; Bisacchi, Gregory S.; Sun, Chong-qing; Tino, Joseph A.; Vite, Gregory D.; Zahler, Robert
PA E. R. Squibb & Sons, Inc., USA
SO U.S., 219 pp., Cont.-in-part of U.S. Ser. No. 927,027, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5559256	A	19960924	US 1993-79978	19930625
	AU 9341659	A1	19940127	AU 1993-41659	19930630
	AU 677194	B2	19970417		
	HU 67090	A2	19950130	HU 1993-2080	19930719
	CA 2100894	AA	19940121	CA 1993-2100894	19930720
	NO 9302620	A	19940121	NO 1993-2620	19930720

	EP 580402	A2	19940126	EP 1993-305691	19930720
	EP 580402	A3	19970305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	ZA 9305243	A	19940217	ZA 1993-5243	19930720
	CN 1085546	A	19940420	CN 1993-108954	19930720
	JP 06206857	A2	19940726	JP 1993-201016	19930720
	US 5760036	A	19980602	US 1995-455295,	19950531
	US 5776933	A	19980707	US 1995-456125	19950531
PRAI	US 1992-916916	B2	19920720		
	US 1992-927027	B2	19920806		
	US 1993-79978	A	19930625		

OS MARPAT 125:329473

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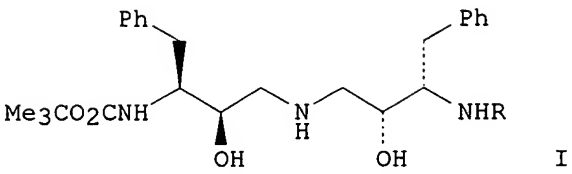

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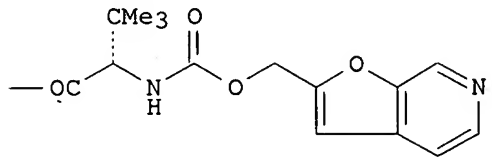

AB Aa-E-NR8CHR9H(OH)CH2NHCH2CH(OH)CHR9NR8-E-Ab [Aa, Ab = H, alkyl, R3C(:Z), R3SO2, R3R4NSO2, R3R4NC(:Z), R3SC(:O), R5R6R7COC(:Z); E = a single bond or a peptide chain containing 1 to 4 amino acids, the N-terminus of which is bonded to Aa or Ab; R3, R4 = H, alkyl, aryl, carbocyclyl; R5, R6, R7 = H, alkyl, aryl, carbocyclyl, fluorenyl, alkynyl, alkenyl; R5, R6, and R7 may, independently, be joined together with the carbon atom to which they are bonded, to form a mono-, bi- or tricyclic carbocyclic ring system; R8 = H, alkyl; R9 = arylalkyl; Z = O, S; wherein: wherever they appear alone or as part of another group, unless otherwise indicated, the terms "alkaline" or "alkyl" denote a straight or branched chain saturated radical containing 1 to 12 carbons in the normal chain, optionally substituted by one or more groups selected from (un)protected OH, oxo (with the proviso that the carbon bearing the oxo group is not adjacent to a heteroatom), CO2H, halo, alkoxy, aryloxy, alkoxycarbonyl, etc.] or salts thereof, which inhibit retroviral protease and are particularly useful in the treatment and/or prevention of HIV infection (AIDS), are prepared Thus, bis(3-amino-2-hydroxy-4-phenylbutyl)amine derivative (I; R = H) was condensed with L-tert-leucine derivative (HO-Q) using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBT in DMF/CH2CH2 at 0° to room temperature to give the title compound I (R = Q). The latter compound at 10 μM in vitro inhibited 99% HIV protease and showed IC50 of 0.012 μM which was the concentration of drug that increased the formazan production in CEM-SS cells infected with the RF strain of HIV to 50% of that produced by uninfected cells in the absence of drug.

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:441078 CAPLUS

DN 125:184776

TI In vitro metabolism of a potent HIV-protease inhibitor (141W94) using rat,

monkey and human liver S9

AU Singh, Rominder; Chang, Sai Y.; Taylor, Lester C. E.

CS Bioanalysis and Drug Metabolism, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA

SO Rapid Communications in Mass Spectrometry (1996), 10(9), 1019-1026
CODEN: RCMSEF; ISSN: 0951-4198

PB Wiley

DT Journal

LA English

AB Compound 141W94 (Vertex VX478) (3S)-tetrahydro-3-furyl N-[(S,2R)-3-(4-amino-N-isobutylbenzenesulfonamido)-1-benzyl-2-hydroxypropyl] carbamate, is a potent HIV-protease inhibitor and is currently undergoing clin. trials. The purpose of this study was the rapid identification of the phase I and II in vitro metabolite of 141W94 using mass spectrometry. Four different sources of liver S9 fractions were used for studying comparative in vitro metabolism of 141W94. They were obtained from Arochlor-induced rat, normal (untreated) rat, cynomolgus monkey and human livers. Selected incubations were supplemented with uridine diphosphate glucuronic acid and the reduced form of glutathione. The predominant species seen in the incubation mixture was the parent compound 141W94. Metabolites arising from ring opening to form the diol and carboxylic acid and oxidation of the THF ring (formation of dihydrofuran) were identified. In addition, of the two monohydroxylated products identified, one resulted from hydroxylation on the aniline ring and the other from hydroxylation at the benzylic position. Two different glucuronides were also observed Comparing the three species, very little metabolism was seen in the normal (non-induced) rat. The metabolic profile and extent of metabolism with induced rat, monkey and human S9 was similar. Induced rat S9 incubation showed the formation of two unique metabolites that were not seen in non-induced rat, monkey and human S9 fractions. They were the monohydroxylated glucuronide and a carbamate cleavage product. The metabolites were identified using mass spectrometry based on their mol. masses and fragmentation patterns.

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1995:511385 CAPLUS

DN 122:290438

TI Preparation of diphenyl-substituted amino alcohols as protease inhibitors

IN Gordon, Eric M.; Barrish, Joel C.; Bisacchi, Gregory S.; Sun, Chong Qing; Tino, Joseph A.; Vite, Gregory D.; Zahler, Robert

PA E. R. Squibb and Sons, Inc., USA

SO Eur. Pat. Appl., 393 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 580402	A2	19940126	EP 1993-305691	19930720
	EP 580402	A3	19970305		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	US 5559256	A	19960924	US 1993-79978	19930625
	ZA 9305243	A	19940217	ZA 1993-5243	19930720
PRAI	US 1992-916916	A	19920720		
	US 1992-927027	A	19920806		
	US 1993-79978	A	19930625		

OS MARPAT 122:290438

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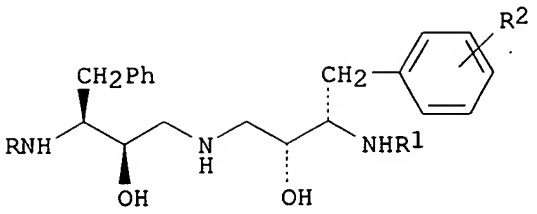
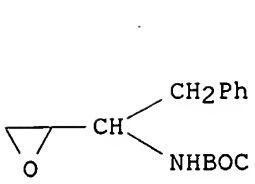
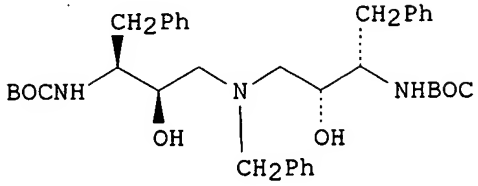


I



II



III

AB Novel amino alcs. [I; R, R1 = protecting group, substituent; R2 = H, substituent], useful in inhibiting retroviral protease, particularly useful in the treatment and/or prevention of HIV infection (AIDS), are prepared A mixture of 2:1 II/PhCH2NH2 was heated at 105-108° under Ar to give 56% III, which was refluxed over 20% Pd(OH)2/C in EtOH-cyclohexene to give 69% I (R = R1 = Boc, R2 = H), which showed 100% inhibition of HIV protease at 10 μM and IC50 of 0.09 μM against HIV CEM cells.

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1995:445266 CAPLUS
DN 123:82913
TI Aminodiol HIV protease inhibitors. 2. 1,1-Dimethyl-2-hydroxyethyl carbamate derivatives with enhanced potency
AU Bisacchi, G. S.; Ahmad, S.; Alam, M.; Ashfaq, A.; Barrish, J.; Cheng, P. T. W.; Greytok, J.; Hermsmier, M.; Lin, P. F.; et al.
CS Bristol-Myers Squibb Pharmaceutical Research Institute, Princeton, NJ, 08543-4000, USA
SO Bioorganic & Medicinal Chemistry Letters (1995), 5(5), 459-64
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier
DT Journal
LA English
AB A series of BOC-modified analogs of the aminodiol HIV protease inhibitor BMS-182193 was prepared and tested for inhibitory activity against the enzyme and the virus in cell culture. Some hydroxy-modified analogs showed enhanced potency against the protease.

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1963:47020 CAPLUS
DN 58:47020
OREF 58:8031d-h
TI Structure of the sugar components of digitoxin
AU Lichti, H.; Kuhn, M.; Wartburg, A. von
CS Sandoz Ltd., Basel, Switz.
SO Helvetica Chimica Acta (1962), 45, 868-81
CODEN: HCACAV; ISSN: 0018-019X
DT Journal
LA German
AB cf. CA 55, 18606e. The carbohydrate moiety of digitoxin (I) was shown, by fragmentation studies on O-methyl and O-(phenylcarbamoyl) derivs. of I, to be a linear (1 → 4)-linked chain containing 3 digitoxose (2,6-dideoxy-D-ribo-hexopyranose) (II) units, probably β-D-linked. Permethylation of I with MeI-Ag2O-HCONMe2, followed by mild hydrolysis with H2SO4 in aqueous Me2CO gave an H2O-soluble fraction chromatographed on Al2O3

to give II 3,4-di-Me ether (III), [α]22D 79.1 (c 1, H2O) [p-nitrobenzenesulfonylhydrazone m. 127-9° (MeOH-Et2O-pentane)], oxidized by Br to 2,6-dideoxy-3,4-di-O-methyl-D-ribo-hexonolactone, [α]D 34.1 (c 0.4, CHCl3); together with II 3-Me ether (cymarose) (IV), m. 76-9° (Et2O-pentane), [α]22D 54.4° (c 1, H2O), lactone [α]23D -22.3 (c 2, H2O); aldonic acid phenylhydrazide m. 150-2° (MeOH-Et2O-pentane), [α]22D 6.2 (c 1, MeOH). III and IV were formed in 1:2 ratio, and III was compared with a reference sample prepared by methylation and hydrolysis of the strophanthidin glycoside cymarin. PhNCO in C5H5N converted I into a tetra-O-(phenylcarbamoyl) derivative (V), m. 186-9° (MeOH), [α]20D 103° (c 0.5, CHCl3). I (5 g.) with 1% MeOH-HCl 62 hrs. at 20° gave 1.55 g. Me 2,6-dideoxy-β-D-ribo-hexopyranoside 3,4-bis(phenylurethan) (VI), m. 107-11° (MeOH-H2O), [α]20D 108° (c 0.5, C5H5N), and 2.3 g. anomeric mixts. of Me glycosides, hydrolyzed by 0.1N H2SO4 in H2O-Me2CO at reflux to give II 3-phenylurethan (VII), m. 125-8° (EtOAc), [α]20D 52° → 64° (final, c 0.5, 95% C5H5N). NaBH4 reduction of VII gave the corresponding alditol (VIII), m. 111-113° (EtOAc-Et2O), [α]21D -37.6° (c 1.4, MeOH). Oxidation of VII with aqueous NaIO4 gave MeCHO and 3-deoxy-2-O-(phenylcarbamoyl)-L-glycero-tetrose, m. 96-8° (Me2CO-Et2O), [α]21D -28.4° (c 0.5, MeOH). Reduction of II with NaBH4 or Na-Hg in H2O gave the alditol (IX), m. 87.0-9.5° (Me2CO-Et2O), [α]22D -25.6° (c 0.7, MeOH), which with PhCHO-H2SO4 gave a di-O-benzylidene derivative, m. 110-111° (CH2Cl2-Et2O-pentane); 2nd form m. 139-141° (MeOH), [α]22D 67.3° (c 0.8, CHCl3). From the results it follows that the 3 II units and the D-glucose unit in the natural digitalis glycosides form a (1 → 4)-linked tetrasaccharide chain.

=>

	Type	L #	Hits	Search Text	DBs
1	BRS	L1	1	US-5907024-$.DID.	USPAT
2	BRS	L2	126	"hydroxyalkyl carbamates"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT
3	BRS	L3	2	"hydroxyalkyl carbamates" with polymer	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT
4	BRS	L4	0	"hydroxyalkyl carbamates" with film	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT
5	BRS	L5	64	"hydroxyalkyl carbamates" and film	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT

	Time Stamp	Comments	Error Definition	Errors
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2	2005/03/31 14:13			
3	2005/03/31 14:13			
4	2005/03/31 14:14			
5	2005/03/31 14:25			

	Type	L #	Hits	Search Text	DBs
6	BRS	L6	7	"5981684"	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT
7	BRS	L7	2	2003/0100682	USPAT
8	BRS	L8	0	2003/9134986	USPAT
9	BRS	L9	2	2003/0134986	USPAT
10	BRS	L10	0	2003/0125470	USPAT
11	BRS	L11	0	2003/0125470	US-PGPUB; USPAT
12	BRS	L12	0	2003/0125470	US-PGPUB
13	BRS	L13	0	2003/00125470	US-PGPUB
14	BRS	L14	3	"325328"	US-PGPUB

	Time Stamp	Comments	Error Definition	Errors
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14	2005/03/31 15:00			